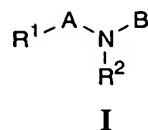


CLAIMS

We claim:

- 5 1. A compound of Formula I, or a pharmaceutically acceptable salt or solvate thereof,



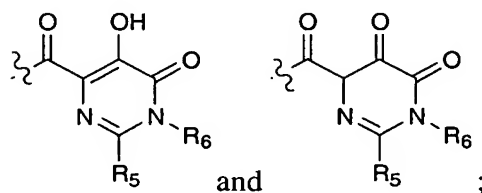
wherein

10

A is methylene or 1,1-disubstituted ethylene;

B is selected from the group consisting of

15



R^1 is phenyl, pyridinyl or dioxolanylphenyl and is unsubstituted or substituted with 1 to 3 R^3 ;

20

R^2 is hydrogen, methyl, or OR^4 ;

R^3 is independently selected from halo, C_{1-6} alkyl, C_{1-2} perfluoroalkyl, C_{1-6} alkoxy, C_{1-6} alkylthioxy, and cyano;

25

R^4 is hydrogen or C_{1-6} alkyl;

R^5 is Ar^1 or Ar^2 ;

R⁶ is C₁₋₆alkyl;

Ar¹ is phenyl substituted with 1-2 substituents selected from halo, C₁₋₆alkoxy, CO₂R⁴, N(R⁴)(SO₂C₁₋₆alkyl), SO₂N(C₁₋₆alkyl)₂, and dioxothiazinanyl;

5

Ar² is a heteroaryl moiety selected from the group consisting of pyridinyl, pyrrolyl, furanyl, and imidazopyrimidinyl and is unsubstituted or substituted with 1-2 substituents selected from halo, C₁₋₆alkyl, C₁₋₆alkoxy, CO₂R⁴, N(R⁴)(SO₂C₁₋₆alkyl), SO₂N(C₁₋₆alkyl)₂, N(R⁷)₂, and dioxothiazinanyl; and

10

R⁷ is hydrogen, C₁₋₆alkyl, C₁₋₆alkylOR⁴.

2. A compound of claim 1 wherein R¹ is phenyl unsubstituted or substituted with 1 to 3 R³.

15

3. A compound of claim 2 where R¹ is phenyl substituted with 1-2 R³ selected from the group consisting of chloro, fluoro, methyl, and trifluoromethyl.

4. A compound of claim 1 where R² is hydrogen.

20

5. A compound of claim 1 where R⁵ is Ar¹.

6. A compound of claim 5 where Ar¹ is phenyl substituted with 1-2 R³ selected from the group consisting of chloro, fluoro, methoxy, N(Me)SO₂Me, SO₂N(Me)₂, SO₂Me, and dioxothiazinanyl.

25

7. A compound of claim 5 selected from the group consisting of

N-(4-fluorobenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

30

N-(3,4-dichlorobenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-difluorobenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

5 N-(4-chlorobenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(4-methylbenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

10 N-(3,4-dimethylbenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

15 N-(3-chloro-4-methylbenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(2,4-difluorobenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

20 N-(2-methylbenzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(4-fluoro-2-(trifluoromethyl)benzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

25 N-(4-(trifluoromethyl)benzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

30 N-(benzo[d][1,3]dioxol-5-ylmethyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-N-(1-phenylethyl)-1,6-dihydropyrimidine-4-carboxamide;

N-(2-(methylthio)benzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

5 N-(3-fluoro-4-(trifluoromethyl)benzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,5-bis(trifluoromethyl)benzyl)-2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

10 2-(2,6-difluorophenyl)-5-hydroxy-1-methyl-6-oxo-N-(pyridin-2-ylmethyl)-1,6-dihydropyrimidine-4-carboxamide;

15 N-(3,4-dichlorobenzyl)-5-hydroxy-2-(2-methoxyphenyl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(4-fluorobenzyl)-5-hydroxy-2-(2-methoxyphenyl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

20 N-(3,4-dimethylbenzyl)-5-hydroxy-2-(2-methoxyphenyl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3-chloro-4-methylbenzyl)-5-hydroxy-2-(2-methoxyphenyl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

25 N-(4-fluorobenzyl)-2-(4-fluoro-2-(methylsulfonyl)phenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

30 N-(3,4-dichlorobenzyl)-2-(4-fluoro-2-(methylsulfonyl)phenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dimethylbenzyl)-2-(4-fluoro-2-(methylsulfonyl)phenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(4-fluorobenzyl)-2-(2-fluoro-6-(N-methylmethan-3-ylsulfonamido)phenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

5 N-(3,4-dichlorobenzyl)-2-(2-fluoro-6-(N-methylmethan-3-ylsulfonamido)phenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

10 N-(3-chloro-4-methylbenzyl)-2-(2-fluoro-6-(N-methylmethan-3-ylsulfonamido)phenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(4-fluorobenzyl)-2-(2,6-dimethoxyphenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

15 N-(3,4-dichlorobenzyl)-2-(2,6-dimethoxyphenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dimethylbenzyl)-2-(2,6-dimethoxyphenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

20 N-(3-chloro-4-methylbenzyl)-2-(2,6-dimethoxyphenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

25 N-(4-fluorobenzyl)-5-hydroxy-1-methyl-2-(2-(1,1-Dioxo-1 λ^6 -[1,2]thiazinan-2-yl)-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dichlorobenzyl)-5-hydroxy-1-methyl-2-(2-(1,1-Dioxo-1 λ^6 -[1,2]thiazinan-2-yl)-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

30 N-(3,4-dimethylbenzyl)-5-hydroxy-1-methyl-2-(2-(1,1-Dioxo-1 λ^6 -[1,2]thiazinan-2-yl)-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3-chloro-4-methylbenzyl)-5-hydroxy-1-methyl-2-(2-(1,1-Dioxo-1 λ^6 -
[1,2]thiazinan-2-yl)-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

5 N-(3-chloro-4-methylbenzyl)-5-hydroxy-1-methyl-2-(2-morpholinophenyl)-6-oxo-
1,6-dihydropyrimidine-4-carboxamide;

N-(4-fluorobenzyl)-2-(2-dimethylsulfamoylphenyl)-5-hydroxy-1-methyl-6-oxo-1,6-
dihydropyrimidine-4-carboxamide;

10 N-(3,4-dichlorobenzyl)-2-(2-dimethylsulfamoylphenyl)-5-hydroxy-1-methyl-6-oxo-
1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dimethylbenzyl)-2-(2-dimethylsulfamoylphenyl)-5-hydroxy-1-methyl-6-oxo-
1,6-dihydropyrimidine-4-carboxamide;

15 N-(3-chloro-4-methylbenzyl)-2-(2-dimethylsulfamoylphenyl)-5-hydroxy-1-methyl-6-
oxo-1,6-dihydropyrimidine-4-carboxamide;

20 N-(4-fluorobenzyl)-5-hydroxy-2-(3-methoxyphenyl)-1-methyl-6-oxo-1,6-
dihydropyrimidine-4-carboxamide;

N-(3,4-dichlorobenzyl)-5-hydroxy-2-(3-methoxyphenyl)-1-methyl-6-oxo-1,6-
dihydropyrimidine-4-carboxamide;

25 N-(3,4-dimethylbenzyl)-5-hydroxy-2-(3-methoxyphenyl)-1-methyl-6-oxo-1,6-
dihydropyrimidine-4-carboxamide;

N-(4-fluorobenzyl)-5-hydroxy-2-(4-methoxyphenyl)-1-methyl-6-oxo-1,6-
dihydropyrimidine-4-carboxamide;

30 N-(3,4-dichlorobenzyl)-5-hydroxy-2-(4-methoxyphenyl)-1-methyl-6-oxo-1,6-
dihydropyrimidine-4-carboxamide;

N-(3,4-dimethylbenzyl)-5-hydroxy-2-(4-methoxyphenyl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

5 2-(4-((4-fluorobenzyl)carbamoyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidin-2-yl)benzoic acid;

2-(4-((3,4-dichlorobenzyl)carbamoyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidin-2-yl)benzoic acid; and

10 2-(4-methylaminicarbonyl-phenyl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxylic acid 4-fluorobenzylamide; and

or a pharmaceutically acceptable salt or solvate thereof.

15 8. A compound of claim 1 where R^5 is Ar^2 .

9. A compound of claim 8 where Ar^2 is substituted with 1-2 substituents selected from the group consisting of chloro, fluoro, methyl, methoxy, dimethylamino, 2-hydroxyethylamino, di(2-hydroxyethyl)amino, $N(Me)SO_2Me$,
20 $SO_2N(Me)_2$, SO_2Me , and dioxothiazinanyl.

10. A compound of claim 8 selected from the group consisting of

25 N-(4-chlorobenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-2-yl)-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dichlorobenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-2-yl)-1,6-dihydropyrimidine-4-carboxamide;

30 N-(3,4-difluorobenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-2-yl)-1,6-dihydropyrimidine-4-carboxamide;

N-(4-methylbenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-2-yl)-1,6-dihydropyrimidine-4-carboxamide;

5 N-(3,4-dimethylbenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-2-yl)-1,6-dihydropyrimidine-4-carboxamide;

N-(3-chloro-4-methylbenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-2-yl)-1,6-dihydropyrimidine-4-carboxamide;

10 N-(4-fluorobenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-2-yl)-1,6-dihydropyrimidine-4-carboxamide;

15 N-(4-fluorobenzyl)-5-hydroxy-2-(3-[2-(1,1-Dioxo-1 λ^6 -[1,2]thiazinan-2-yl)-pyridin-2-yl])-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dichlorobenzyl)-5-hydroxy-2-(3-[2-(1,1-Dioxo-1 λ^6 -[1,2]thiazinan-2-yl)-pyridin-2-yl])-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

20 N-(3,4-dimethylbenzyl)-5-hydroxy-2-(3-[2-(1,1-Dioxo-1 λ^6 -[1,2]thiazinan-2-yl)-pyridin-2-yl])-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3-chloro-4-methylbenzyl)-5-hydroxy-2-(3-[2-(1,1-Dioxo-1 λ^6 -[1,2]thiazinan-2-yl)-pyridin-2-yl])-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

25 N-(4-fluorobenzyl)-5-hydroxy-2-(6-methoxypyridin-3-yl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

30 N-(3,4-dichlorobenzyl)-5-hydroxy-2-(6-methoxypyridin-3-yl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dimethylbenzyl)-5-hydroxy-2-(6-methoxypyridin-3-yl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(4-fluorobenzyl)-5-hydroxy-2-(3-methoxypyridin-2-yl)-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

5 N-(4-fluorobenzyl)-2-(3-(dimethylamino)pyridin-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dichlorobenzyl)-2-(3-(dimethylamino)pyridin-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

10 N-(3,4-dimethylbenzyl)-2-(3-(dimethylamino)pyridin-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3-chloro-4-methylbenzyl)-2-(3-(dimethylamino)pyridin-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

15

N-(4-fluorobenzyl)-2-(furan-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dichlorobenzyl)-2-(furan-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

20

N-(3,4-dimethylbenzyl)-2-(furan-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

25 N-(3-chloro-4-methylbenzyl)-2-(furan-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(4-fluorobenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-3-yl)-1,6-dihydropyrimidine-4-carboxamide;

30

N-(3,4-dichlorobenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-3-yl)-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dimethylbenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-3-yl)-1,6-dihydropyrimidine-4-carboxamide;

5 N-(3-chloro-4-methylbenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-3-yl)-1,6-dihydropyrimidine-4-carboxamide;

N-(4-fluorobenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-4-yl)-1,6-dihydropyrimidine-4-carboxamide;

10 N-(3,4-dichlorobenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-4-yl)-1,6-dihydropyrimidine-4-carboxamide;

15 N-(3,4-dimethylbenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-4-yl)-1,6-dihydropyrimidine-4-carboxamide;

N-(3-chloro-4-methylbenzyl)-5-hydroxy-1-methyl-6-oxo-2-(pyridin-4-yl)-1,6-dihydropyrimidine-4-carboxamide;

20 N-(4-fluorobenzyl)-2-(1,5-dimethyl-1H-pyrrol-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dichlorobenzyl)-2-(1,5-dimethyl-1H-pyrrol-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

25 N-(3,4-dimethylbenzyl)-2-(1,5-dimethyl-1H-pyrrol-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3-chloro-4-methylbenzyl)-2-(1,5-dimethyl-1H-pyrrol-2-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

30 N-(4-fluorobenzyl)-2-(2,4-dimethylimidazo[1,5-a]pyrimidin-8-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

N-(3,4-dichlorobenzyl)-2-(2,4-dimethylimidazo[1,5-a]pyrimidin-8-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

5 N-(3,4-methylbenzyl)-2-(2,4-dimethylimidazo[1,5-a]pyrimidin-8-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide; and

N-(3-chloro-4-methylbenzyl)-2-(2,4-dimethylimidazo[1,5-a]pyrimidin-8-yl)-5-hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide;

10 or a pharmaceutically acceptable salt or solvate thereof.

11. A compound of claim 1 where R⁶ is methyl.

12. A composition useful for treating HIV infections comprising a therapeutic
15 amount of a compound of claim 1, or a salt or solvate thereof, and a pharmaceutically acceptable carrier.

13. A method of inhibiting HIV integrase which comprises
administering to a patient a therapeutically effective amount of a compound of Claim
20 1, or a pharmaceutically acceptable salt or solvate thereof.

14. A method of treating HIV infection in a patient comprising the administration
of a therapeutically effective amount of a compound of Claim 1, or a
pharmaceutically acceptable salt or solvate thereof.

25 15. A method of treating HIV infection in a patient comprising administering a therapeutically effective amount of a compound of claim 1 with at least one other agent for treating HIV selected from the group consisting of HIV reverse transcriptase inhibitors, non-nucleoside HIV reverse transcriptase inhibitors, HIV
30 protease inhibitors, HIV fusion inhibitors, HIV attachment inhibitors, CCR5 inhibitors, CXCR4 inhibitors, HIV budding or maturation inhibitors, and HIV integrase inhibitors.